

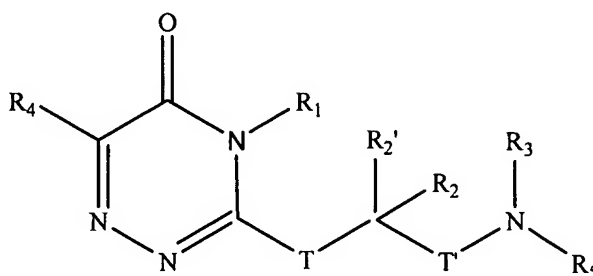


AMENDMENTS TO THE CLAIMS:

This listing of claims will replace all prior versions and listings of claims in the application:

What is claimed is:

1. (Currently Amended) A compound selected from the group represented by Formula I:



Formula I

wherein:

T and T' are independently a covalent bond or optionally substituted lower alkylene;

R₁ is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl;

R₂ and R₂' are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl; or R₂ and R₂ taken together form an optionally substituted 3-to 7-membered ring;

R₃ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, optionally substituted heterocyclyl, -C(O)-R₆, and -S(O)₂-R_{6a};

R₅ is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaralkyl-, and optionally substituted heterocyclyl-;

R₆ is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, optionally substituted heteroaralkyl, R₇O- and R₁₂-NH-;

R_{6a} is chosen from optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, optionally substituted heteroaralkyl, and R₁₂-NH-;

or R₅ taken together with R₃, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

or R₅ taken together with R₂ form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

R₇ is chosen from optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl;

R₁₂ is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl; and

R₄ is chosen from hydrogen, optionally substituted alkyl, optionally substituted alkoxy, halogen, hydroxyl, nitro, cyano, optionally substituted amino, alkylsulfonyl, alkylsulfonamido, alkylthio, carboxyalkyl, aminocarbonyl, aryloxy, heteroaryloxy, optionally substituted N-heterocyclyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaralkyl and optionally substituted heteroaryl; or

a pharmaceutically acceptable salt of a compound of Formula I;

~~a pharmaceutically acceptable solvate of a compound of Formula I; or~~

~~a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt of a compound of Formula I.~~

2. (Original) The compound of Claim 1 comprising one or more of the following:

T and T' are each a covalent bond;

R₁ is selected from hydrogen, optionally substituted C₁-C₄ alkyl, optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted naphthylmethyl, optionally substituted phenyl, and naphthyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen or optionally substituted C₁-C₄ alkyl;

R₃ is -C(O)-R₆ or S(O)₂-R_{6a};

R₄ is hydrogen, halo, hydroxyl, optionally substituted lower alkyl, optionally substituted aryl, alkoxy, cyano, substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, or optionally substituted N-heterocyclyl;

R₆ is selected from optionally substituted C₁-C₈ alkyl, optionally substituted aryl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, optionally substituted heteroaryl, optionally substituted aryl, R₇O- and R₁₂-NH-,

R_{6a} is selected from C₁-C₁₃ alkyl; phenyl; naphthyl; phenyl substituted with halo, lower alkyl, lower alkoxy, nitro, methylenedioxy, or trifluoromethyl; biphenyl and heteroaryl;

R₇ is chosen from optionally substituted alkyl and optionally substituted aryl;

R₁₂ is chosen from optionally substituted alkyl and optionally substituted aryl; and

R₅ is chosen from hydrogen, optionally substituted C₁-C₁₃ alkyl, optionally substituted aryl, optionally substituted aryl-C₁-C₄-alkyl-, optionally substituted heterocyclyl, and optionally substituted heteroaryl-C₁-C₄-alkyl-.

3. (Original) The compound of Claim 2 comprising one or more of the following:

R₁ is naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chlorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, or naphthylmethyl;

R₂ is hydrogen;

R₂ is optionally substituted C₁-C₄ alkyl;

R₃ is -C(O)R₆;

R₆ is chosen from phenyl; substituted phenyl; benzyl; phenoxymethyl-; halophenoxymethyl-; phenylvinyl-; heteroaryl-; substituted heteroaryl-; C₁-C₄ alkyl substituted with C₁-C₄ alkoxy-; and benzyloxymethyl-;

R₄ is chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, lower alkoxy, optionally substituted phenyl, and cyano;

R₅ is selected from hydrogen, C₁-C₄ alkyl; cyclohexyl; phenyl substituted with hydroxyl, C₁-C₄ alkoxy or C₁-C₄ alkyl; benzyl; and R₁₁-alkylene-; and

R₁₁ is hydroxyl, carboxy, (C₁-C₄ alkoxy)carbonyl-, di(C₁-C₄ alkyl)amino-, (C₁-C₄ alkyl)amino-, amino, (C₁-C₄ alkoxy)carbonylamino-, C₁-C₄ alkoxy-, or optionally substituted N-heterocyclyl-.

4. (Original) The compound of Claim 3 comprising one or more of the following:

R₁ is benzyl, halobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is chosen from methyl, ethyl, propyl, butyl, methylthioethyl, methylthiomethyl, aminobutyl, (CBZ)aminobutyl, cyclohexylmethyl, benzyloxymethyl, methylsulfinylethyl, methylsulfinylmethyl, and hydroxymethyl;

R₂ is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl;

R₆ is tolyl, halophenyl, methylhalophenyl, hydroxymethylphenyl, halo(trifluoromethyl)phenyl-, methylenedioxyphenyl, formylphenyl or cyanophenyl; and

R₅ is R₁₁-alkylene- wherein R₁ is amino, C₁-C₄ alkylamino-, di(C₁-C₄ alkyl)amino-, C₁-C₄ alkoxy-, hydroxyl, or N-heterocyclyl.

5. (Original) The compound of Claim 4 comprising one or more of the following:

R₁ is benzyl;

R₂' is hydrogen;

R₂ is ethyl or propyl; and

R₅ is aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminoethyl, methylaminoethyl, methylaminopropyl, methylaminobutyl, methylaminopentyl, methylaminoethyl, dimethylaminoethyl, dimethylaminopropyl, dimethylaminobutyl, dimethylaminopentyl, dimethylaminoethyl, ethylaminoethyl, ethylaminopropyl, ethylaminobutyl, ethylaminopentyl, ethylaminoethyl, diethylaminoethyl, diethylaminopropyl, diethylaminobutyl, diethylaminopentyl, or diethylaminoethyl.

6. (Original) The compound of Claim 5 wherein R₂ is i-propyl

7. (Original) The compound of Claim 1 comprising one or more of the following:

T and T' are each a covalent bond;

R₁ is selected from hydrogen, optionally substituted C₁-C₄ alkyl, optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted naphthylmethyl, optionally substituted phenyl, and naphthyl.

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen or optionally substituted C₁-C₄ alkyl;

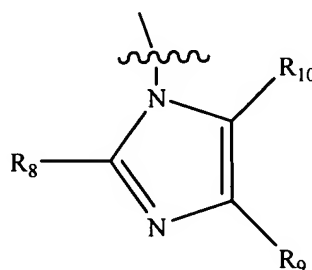
R₄ is hydrogen, halo, hydroxyl, optionally substituted lower alkyl, optionally substituted aryl, alkoxy, cyano, substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl; or optionally substituted N-heterocyclyl;

R₆ is selected from optionally substituted C₁-C₈ alkyl, optionally substituted aryl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, optionally substituted heteroaryl, optionally substituted aryl, R₇O- and R₁₂-NH-,

R₇ is chosen from optionally substituted alkyl and optionally substituted aryl;

R₁₂ is chosen from optionally substituted alkyl and optionally substituted aryl; and

R₃ taken together with R₅ and the nitrogen to which they are bound, forms an optionally substituted imidazolyl ring of the formula:



wherein

R₈ is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaralkyl, and optionally substituted heteroaryl; and

R₉ and R₁₀ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, or optionally substituted aralkyl.

8. (Original) The compound of Claim 7 comprising one or more of the following:

R₁ is chosen from naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chlorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, or naphthylmethyl;

R₂ is hydrogen;

R₂ is optionally substituted C₁-C₄ alkyl;

R₆ is chosen from phenyl; substituted phenyl; benzyl; phenoxymethyl-; halophenoxymethyl-; phenylvinyl-; heteroaryl-; substituted heteroaryl-; C₁-C₄ alkyl substituted with C₁-C₄ alkoxy-; and benzyloxymethyl-;

R₄ is chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, lower alkoxy, optionally substituted phenyl, and cyano; and

R₈ is aryl, substituted aryl, aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, substituted aralkyl, or substituted heteroaralkyl.

9. (Original) The compound of Claim 1 comprising one or more of the following:

T and T' are each a covalent bond;

R₁ is selected from hydrogen, optionally substituted C₁-C₄ alkyl, optionally substituted phenyl-C₁-C₄-alkyl-, optionally substituted naphthylmethyl, optionally substituted phenyl, and naphthyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂ is hydrogen or optionally substituted C₁-C₄ alkyl;

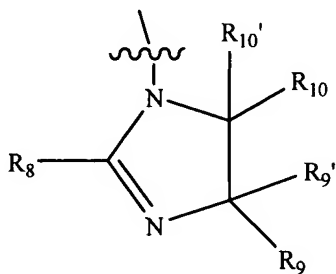
R₄ is hydrogen, halo, hydroxyl, optionally substituted lower alkyl, optionally substituted aryl, alkoxy, cyano, substituted amino, carbamyl, aryloxy, heteroaryloxy, heteroaryl, or optionally substituted N-heterocyclyl;

R₆ is selected from optionally substituted C₁-C₈ alkyl, optionally substituted aryl-C₁-C₄-alkyl-, optionally substituted heteroaryl-C₁-C₄-alkyl-, optionally substituted heteroaryl, optionally substituted aryl, R₇O- and R₁₂-NH-,

R₇ is chosen from optionally substituted alkyl and optionally substituted aryl;

R₁₂ is chosen from optionally substituted alkyl and optionally substituted aryl; and

R₃ taken together with R₅ and the nitrogen to which they are bound, forms an optionally substituted imidazolinyl ring of the formula:



wherein,

R₈ is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaralkyl, and optionally substituted heteroaryl; and

R₉, R₉', R₁₀, and R₁₀' are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, and optionally substituted aralkyl.

10. (Original) The compound of Claim 9 comprising one or more of the following:

R₁ is chosen from naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chlorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, or naphthylmethyl;

R₂' is hydrogen;

R₂ is optionally substituted C₁-C₄ alkyl;

R₆ is chosen from phenyl; substituted phenyl; benzyl; phenoxymethyl-; halophenoxymethyl-; phenylvinyl-; heteroaryl-; substituted heteroaryl-; C₁-C₄ alkyl substituted with C₁-C₄ alkoxy-; and benzyloxymethyl-;

R₄ is chosen from hydrogen, hydroxyl, halo, optionally substituted lower alkyl, lower alkoxy, optionally substituted phenyl, and cyano;

R₈ is aryl, substituted aryl, aralkyl, heteroaryl, substituted heteroaryl, heteroaralkyl, substituted aralkyl, or substituted heteroaralkyl; and

R₉, R₉', R₁₀, and R₁₀' are independently selected from the group consisting of hydrogen and optionally substituted lower alkyl.

11. (Original) The compound of Claim 1 wherein

T' and T' are absent;

R₁ is benzyl, halobenzyl, methylbenzyl, methoxylbenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl;

R₃ is hydrogen; and

R₅ is hydrogen.

12. (Original) The compound of Claim 1 wherein

T and T' are absent;

R₁ is benzyl, halobenzyl, methylbenzyl, methoxylbenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl;

R₃ is -C(O)R₆;

R₆ is optionally substituted phenyl; and

R₅ is optionally substituted alkyl.

13. (Original) The compound of Claim 1 wherein

T and T' are absent;

R₁ is benzyl, halobenzyl, methylbenzyl, methoxylbenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl;

R₃ is optionally substituted phenyl, heterocyclyl, or naphthyl; and

R₅ is optionally substituted alkyl.

14. (Original) The compound of Claim 1 wherein

T and T' are absent;

R₁ is benzyl, halobenzyl, methylbenzyl, methoxylbenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl; and

R₃ and R₅ taken together form an optionally substituted imidazolinyl ring.

15. (Original) The compound of Claim 1 wherein

T and T' are absent;

R₁ is benzyl, halobenzyl, methylbenzyl, methoxylbenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl; and

R₃ taken together with R₅ form an optionally substituted imidazolyl ring.

16. (Original) The compound of Claim 1 wherein

T and T' are absent;

R₁ is benzyl, halobenzyl, methylbenzyl, methoxylbenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl; and

R₃ and R₅ taken together form an optionally substituted imidazolidinyl ring.

17. (Original) The compound of Claim 1 wherein

T and T' are absent;

R₁ is benzyl, halobenzyl, methylbenzyl, methoxylbenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl; and

R₃ and R₅ taken together form an optionally substituted piperazinyl ring.

18. (Original) The compound of Claim 1 wherein

T and T' are absent;

R₁ is benzyl, halobenzyl, methylbenzyl, methoxylbenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl; and

R₃ and R₅ taken together form an optionally substituted diazepinoyl ring.

19. (Original) The compound of Claim 1 wherein

T and T' are absent;

R₁ is most preferably chosen from benzyl, halobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, or naphthylmethyl;

R₂ is optionally substituted C₁-C₄ alkyl;

R₂' is hydrogen;

R₄ is optionally substituted methyl or optionally substituted phenyl;

R₅ is optionally substituted alkyl;

R₃ is -SO₂R_{6a}, and

R_{6a} is substituted phenyl or naphthyl.

20. (Previously Presented) The compound of Claim 1 wherein the stereogenic center to which R₂ and R₂' is attached is of the R configuration.

21. (Previously Presented) A pharmaceutical composition comprising a pharmaceutical excipient and a therapeutically effective amount of a compound of Claim 1.

22. (Currently Amended) A method of treatment comprising administering an effective amount of a compound of Claim 1 to a patient suffering from breast cancer a-
~~cellular proliferative disease~~.

23. (Cancelled)

24. (Cancelled)

25. (Currently Amended) A kit comprising a compound of Claim 1 and a package insert or other labeling including directions for treating breast cancer ~~a cellular-proliferative disease~~ by administering an effective amount of said compound.